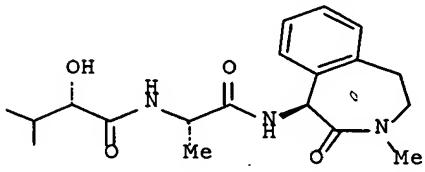
L5 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:465802 CAPLUS Full-text
DN 137:41761
TI Lactam compound preparation for β-amyloid peptide release inhibition
IN Audia, James Edmund; John, Varghese; Latimer, Lee H.; McDaniel, Stacey Leigh; Nissen, Jeffrey Scott; Thorsett, Eugene D.; Tung, Jay S.
PA Eli Lilly and Company, USA; Elan Pharmaceuticals, Inc.
SO PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002047671	A2	20020620	WO 2001-US27799	20011105
	WO 2002047671	A3	20030306		
	W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2427227	AA	20020620	CA 2001-2427227	20011105
	AU 2002043192	A5	20020624	AU 2002-43192	20011105
	EP 1341531	A2	20030910	EP 2001-989070	20011105
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2001015427	A	20031007	BR 2001-15427	20011105
	JP 2004517090	T2	20040610	JP 2002-549245	20011105
	NZ 525854	A	20040625	NZ 2001-525854	20011105
	ZA 2003003789	A	20040816	ZA 2003-3789	20030515
	NO 2003002236	A	20030710	NO 2003-2236	20030516
PRAI	US 2000-249552P	P	20001117		
	WO 2001-US27799	W	20011105		

GI



I

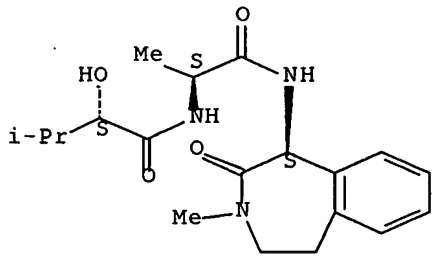
AB The present invention provides (N)-[(S)-2-hydroxy-3-methylbutyryl]-1-(L-alanyl)-(S)-1-amino-3-methyl-4,5,6,7-tetrahydro-2H-3-benzazepin-2-one (I) for inhibition of β-amyloid release. Synthetic examples for the preparation of I, pharmaceutical prepns., and cellular screen for the detection of inhibitors of β-amyloid production and in vivo suppression of β-amyloid release and/or synthesis are given.

IT **425386-60-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(lactam compound preparation for β-amyloid peptide release inhibition)

RN 425386-60-3 CAPLUS
CN Butanamide, 2-hydroxy-3-methyl-N-[(1S)-1-methyl-2-oxo-2-[[(1S)-2,3,4,5-

tetrahydro-3-methyl-2-oxo-1H-3-benzazepin-1-yl]amino]ethyl]-, (2S)- (9CI) (CA INDEX NAME)

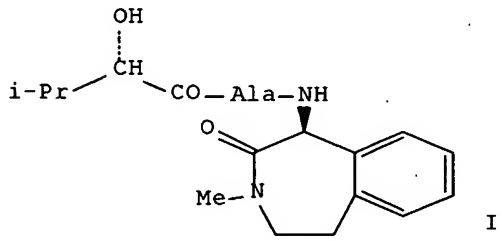
Absolute stereochemistry. Rotation (-).



L5 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:391740 CAPLUS Full-text
DN 136:386399
TI Preparation of lactam derivative useful for inhibiting β-amyloid peptide release and/or its synthesis
IN Koenig, Thomas Mitchell; Mitchell, David; Nissen, Jeffrey Scott
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002040508	A2	20020523	WO 2001-US27796	20011102
	WO 2002040508	A3	20030327		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2425558	AA	20020523	CA 2001-2425558	20011102
	AU 2002024322	A5	20020527	AU 2002-24322	20011102
	EP 1345955	A2	20030924	EP 2001-996549	20011102
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	US 2004077627	A1	20040422	US 2003-415057	20030903
PRAI	US 2000-249655P	P	20001117		
	WO 2001-US27796	W	20011102		

OS CASREACT 136:386399; MARPAT 136:386399
GI



I

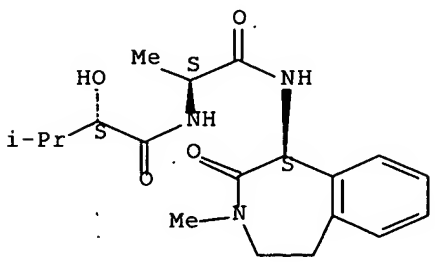
AB Crystalline (L-alaninylamino)benzazepinone derivative I was prepared by a process involving coupling of (S)-1-amino-3-methyl-1,3,4,5-tetrahydro-2H-3-benzazepin-2-one with tert-butoxycarbonyl-L-alanine, deprotection, and reaction with (S)-2-hydroxy-3-methylbutyric acid. I is useful for inhibiting β-amyloid peptide release and/or its synthesis and for treating alzheimer's disease.

IT **425386-60-3P**
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of lactam derivative useful for inhibiting β-amyloid peptide release and/or its synthesis)

RN 425386-60-3 CAPLUS
CN Butanamide, 2-hydroxy-3-methyl-N-[(1S)-1-methyl-2-oxo-2-[[(1S)-2,3,4,5-

tetrahydro-3-methyl-2-oxo-1H-3-benzazepin-1-yl]amino]ethyl]-, (2S)- (9CI) (CA INDEX NAME)

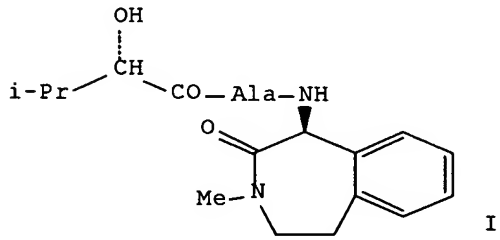
Absolute stereochemistry. Rotation (-).



L5 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:391689 CAPLUS Full-text
DN 136:386397
TI Preparation of lactam derivative useful for inhibiting β-amyloid peptide release and/or its synthesis
IN Koenig, Thomas Mitchell; Audia, James Edmund; Mitchell, David; McDaniel, Stacey Leigh; Buccilli, Lynne Ann; Engel, Gary Lowell; Aikins, James Abraham
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002040451	A2	20020523	WO 2001-US27795	20011102
	WO 2002040451	A3	20030828		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2425497	AA	20020523	CA 2001-2425497	20011102
	AU 2002024321	A5	20020527	AU 2002-24321	20011102
	BR 2001015424	A	20031021	BR 2001-15424	20011102
	EP 1353910	A2	20031022	EP 2001-996530	20011102
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004521084	T2	20040715	JP 2002-542779	20011102
	NZ 525365	A	20050429	NZ 2001-525365	20011102
	US 2004248878	A1	20041209	US 2003-415548	20030428
	ZA 2003003411	A	20040802	ZA 2003-3411	20030502
	HR 2003000385	A1	20030831	HR 2003-385	20030514
	NO 2003002215	A	20030716	NO 2003-2215	20030515
PRAI	US 2000-249656P	P	20001117		
	WO 2001-US27795	W	20011102		

OS CASREACT 136:386397; MARPAT 136:386397
GI



I

AB Crystalline (L-alaninylamino)benzazepinone derivative I was prepared by a process involving coupling of (S)-1-amino-3-methyl-1,3,4,5-tetrahydro-2H-3-benzazepin-2-one with tert-butoxycarbonyl-L-alanine, deprotection, and reaction with (S)-2-hydroxy-3-methylbutyric acid. I is useful for inhibiting β-amyloid peptide release and/or its synthesis and for treating alzheimer's disease.

IT **425386-60-3P**

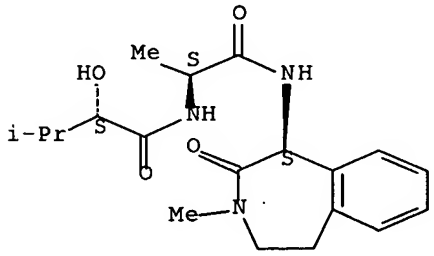
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of lactam derivative useful for inhibiting β-amyloid peptide release and/or its synthesis)

RN 425386-60-3 CAPLUS

CN Butanamide, 2-hydroxy-3-methyl-N-[(1S)-1-methyl-2-oxo-2-[[(1S)-2,3,4,5-tetrahydro-3-methyl-2-oxo-1H-3-benzazepin-1-yl]amino]ethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



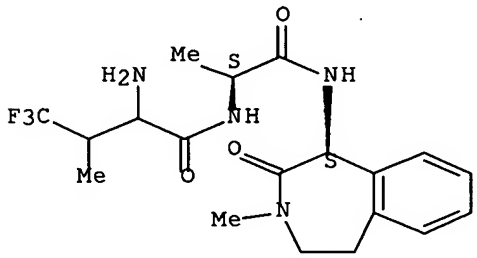
L5 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1999:819353 CAPLUS Full-text
DN 132:64534
TI Preparation of cyclic amino acid compounds for inhibiting β-amyloid peptide release and/or its synthesis
IN Thompson, Richard C.; Wilkie, Stephen; Stack, Douglas R.; Vanmeter, Eldon E.; Shi, Qing; Britton, Thomas C.; Audia, James E.; Reel, Jon K.; Mabry, Thomas E.; Dressman, Bruce A.; Cwi, Cynthia L.; Henry, Steven S.; Mcdaniel, Stacey L.; Stucky, Russell D.; Porter, Warren J.
PA Elan Pharmaceuticals, Inc., USA; Eli Lilly & Company; et al.
SO PCT Int. Appl., 714 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9967221	A1	19991229	WO 1999-US14193	19990622
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2325389	AA	19991229	CA 1999-2325389	19990622
	AU 9947101	A1	20000110	AU 1999-47101	19990622
	EP 1089980	A1	20010411	EP 1999-930594	19990622
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2002518483	T2	20020625	JP 2000-555875	19990622
PRAI	US 1998-102507	A2	19980622		
	WO 1999-US14193	W	19990622		

OS MARPAT 132:64534
AB Cyclic compds., e.g., R1R15'NC(Q)NR15(Y)n(CH)pC(X)W [R1 = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, or cycloalkenyl, aryl, heterocyclyl, heteroaryl; R15 = H, alkyl, substituted alkyl, aryl, heteroaryl, heterocyclyl; R15' = H, OH, alkyl, substituted alkyl, heterocyclyl, heteroaryl; W together with (CH)pC(X) forms an (un)substituted cycloalkyl or cycloalkenyl, heterocyclyl, which are optionally fused to form a bi- or multi-fused ring systems; X = oxo, thioxo, hydroxyl, thiol, or hydro (H,H); Y = CHR2CONH, where R2 = (un)substituted alkyl, alkenyl, or alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl; p = 0 or 1], were prepared for inhibition of β-amyloid peptide release and/or its synthesis. Thus, (S)-3-[[N-(2-thiophenecarbonyl)-L-alaninyl]amino]-2,3- dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one was prepared via acylation of (S)-3-(L-alaninylamino)-2,3-dihydro-1-methyl-5-phenyl-1H-1,4- benzodiazepin-2-one with 2-thiophenecarboxylic acid. Compds. of the invention inhibit β-amyloid peptide production by at least 30% as compared to the control.
IT **253324-44-6P 253324-45-7P 253324-46-8P**
253324-47-9P 253324-48-0P 253324-86-6P
253324-87-7P 253324-88-8P 253324-89-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cyclic amino acid compds. for inhibiting β-amyloid peptide release)

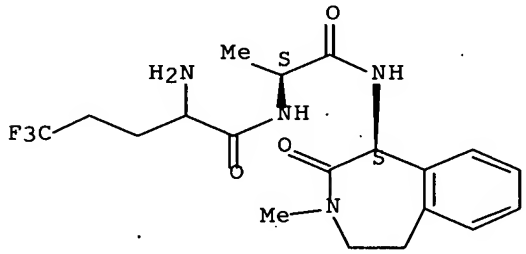
RN 253324-44-6 CAPLUS
CN L-Alaninamide, 4,4,4-trifluorovalyl-N-[(1S)-2,3,4,5-tetrahydro-3-methyl-2-oxo-1H-3-benzazepin-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



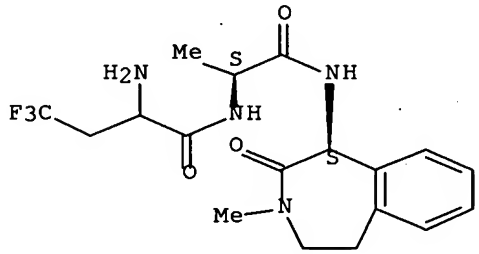
RN 253324-45-7 CAPLUS
CN L-Alaninamide, 5,5,5-trifluoronorvalyl-N-[(1S)-2,3,4,5-tetrahydro-3-methyl-2-oxo-1H-3-benzazepin-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



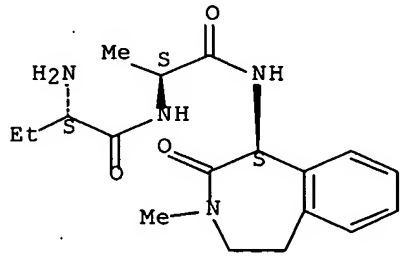
RN 253324-46-8 CAPLUS
CN Butanamide, 2-amino-4,4,4-trifluoro-N-[(1S)-1-methyl-2-oxo-2-[[(1S)-2,3,4,5-tetrahydro-3-methyl-2-oxo-1H-3-benzazepin-1-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253324-47-9 CAPLUS
CN Butanamide, 2-amino-N-[(1S)-1-methyl-2-oxo-2-[[(1S)-2,3,4,5-tetrahydro-3-methyl-2-oxo-1H-3-benzazepin-1-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

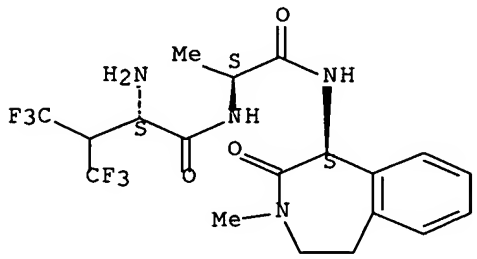
Absolute stereochemistry.



RN 253324-48-0 CAPLUS

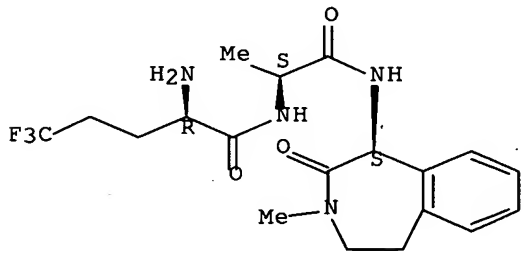
CN L-Alaninamide, 4,4,4,4',4',4'-hexafluoro-L-valyl-N-[(1S)-2,3,4,5-tetrahydro-3-methyl-2-oxo-1H-3-benzazepin-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



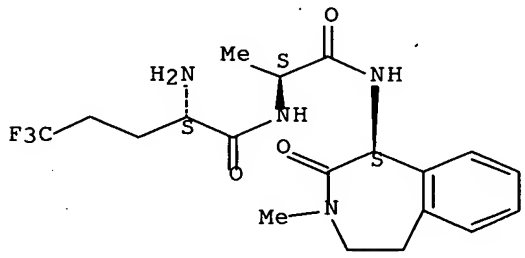
RN 253324-86-6 CAPLUS
CN L-Alaninamide, 5,5,5-trifluoro-D-norvalyl-N-[(1S)-2,3,4,5-tetrahydro-3-methyl-2-oxo-1H-3-benzazepin-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



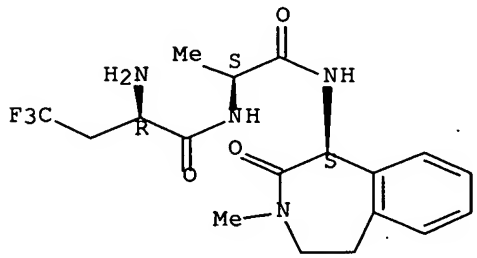
RN 253324-87-7 CAPLUS
CN L-Alaninamide, 5,5,5-trifluoro-L-norvalyl-N-[(1S)-2,3,4,5-tetrahydro-3-methyl-2-oxo-1H-3-benzazepin-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253324-88-8 CAPLUS
CN Butanamide, 2-amino-4,4,4-trifluoro-N-[(1S)-1-methyl-2-oxo-2-[[(1S)-2,3,4,5-tetrahydro-3-methyl-2-oxo-1H-3-benzazepin-1-yl]amino]ethyl]-, (2R)- (9CI) (CA INDEX NAME)

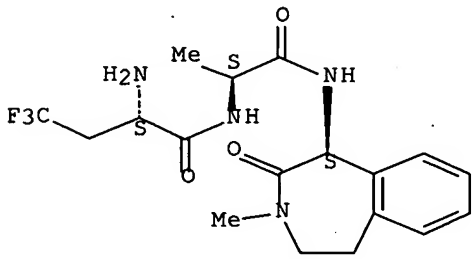
Absolute stereochemistry.



RN 253324-89-9 CAPLUS
CN Butanamide, 2-amino-4,4,4-trifluoro-N-[(1S)-1-methyl-2-oxo-2-[[(1S)-

2,3,4,5-tetrahydro-3-methyl-2-oxo-1H-3-benzazepin-1-yl]amino]ethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1998:479505 CAPLUS Full-text
DN 129:122870
TI Preparation of cycloalkyl, lactam, lactone and related compounds for inhibiting β-amyloid peptide release and/or its synthesis
IN Wu, Jing; Tung, Jay S.; Thorsett, Eugene D.; Pleiss, Michael A.; Nissen, Jeffrey S.; Neitz, Jeffrey; Latimer, Lee H.; John, Varghese; Freedman, Stephen; Britton, Thomas C.; Audia, James E.; Reel, Jon K.; Mabry, Thomas E.; Dressman, Bruce A.; Cwi, Cynthia L.; Droste, James J.; Henry, Steven S.; Mcdaniel, Stacey L.; Scott, William Leonard; Stucky, Russell D.; Porter, Warren J.
PA Athena Neurosciences, Inc., USA; Eli Lilly & Co.
SO PCT Int. Appl., 889 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9828268	A2	19980702	WO 1997-US22986	19971222
	WO 9828268	A3	19981008		
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	ZA 9711537	A	19980625	ZA 1997-11537	19971222
	CA 2272305	AA	19980702	CA 1997-2272305	19971222
	AU 9857007	A1	19980717	AU 1998-57007	19971222
	AU 749658	B2	20020627		
	EP 951466	A2	19991027	EP 1997-953208	19971222
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	CN 1242007	A	20000119	CN 1997-180901	19971222
	BR 9714517	A	20000704	BR 1997-14517	19971222
	JP 2000511932	T2	20000912	JP 1998-528867	19971222
	NZ 335583	A	20010330	NZ 1997-335583	19971222
	TW 568914	B	20040101	TW 1997-86119638	19971223
	MX 9905844	A	20000731	MX 1999-5844	19990621
	NO 9903098	A	19990820	NO 1999-3098	19990622
	US 2002045747	A1	20020418	US 2001-916282	20010730
	US 2002055500	A1	20020509	US 2001-916440	20010730
	US 6653303	B1	20031125	US 2003-336824	20030106
	US 6667305	B1	20031223	US 2003-336745	20030106
	US 6683075	B1	20040127	US 2003-336806	20030106
	US 2004043977	A1	20040304	US 2003-336687	20030106
	US 2004058900	A1	20040325	US 2003-336767	20030106
PRAI	US 1996-64851P	P	19961223		
	US 1996-64851P	P	19961223		
	US 1996-780025	A1	19961223		
	US 1997-996422	A3	19971222		
	WO 1997-US22986	W	19971222		
	US 2001-915342	A3	20010727		
	US 2001-915362	A3	20010727		
	US 2001-915379	A3	20010727		
	US 2001-915480	A3	20010727		
	US 2001-915564	A3	20010727		

OS MARPAT 129:122870

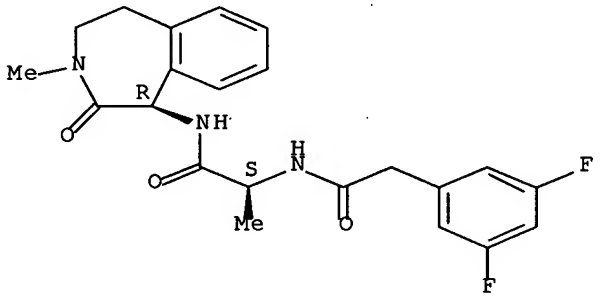
AB Disclosed are compds. R1ZmNHYnCHpR2C(X)R3 [R1 = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, or cycloalkenyl or aryl, heteroaryl, or heterocyclic; R2 and R3 form a cycloalkyl, cycloalkenyl, heterocyclic, substituted cycloalkyl, or substituted cycloalkenyl ring which is optionally fused; X = oxo, thioxo, hydroxyl, thiol, or hydro; Y = CHR4CONH where R4 = (un)substituted alkyl, alkenyl, or alkynyl or cycloalkyl, aryl, heteroaryl, or heterocyclic; Z is TCX'X''CO where T is a bond, O, S, NR5 (R5 = H, acyl, alkyl, aryl, or heteroaryl), X' and X'' are H, OH, or F or X'X'' = oxo; m, p = 0, 1; n = 0, 1, 2] which inhibit β-amyloid peptide release and/or its synthesis, and, accordingly, have utility in treating Alzheimer's disease. Thus, 3-[[N'-(3,4- methylenedioxyphenylacetyl)-L-alaninyl]amino]-2,3-dihydro-1-methyl-5- phenyl-1H-1,4-benzodiazepin-2-one was prepared by coupling of 3-(L-alaninylamino)-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2- one with 3,4-methylenedioxyphenylacetic acid.

IT **209984-09-8P 209984-10-1P 209984-11-2P**
209984-26-9P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cycloalkyl, lactam, lactone and related compds. for inhibiting β-amyloid peptide release and/or its synthesis)

RN 209984-09-8 CAPLUS

CN Benzeneacetamide, 3,5-difluoro-N-[(1S)-1-methyl-2-oxo-2-[[(1R)-2,3,4,5-tetrahydro-3-methyl-2-oxo-1H-3-benzazepin-1-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

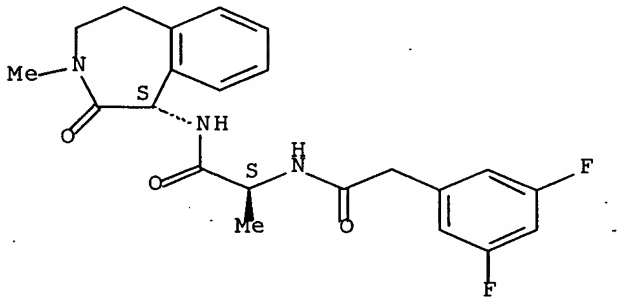
Absolute stereochemistry.



RN 209984-10-1 CAPLUS

CN Benzeneacetamide, 3,5-difluoro-N-[(1S)-1-methyl-2-oxo-2-[[(1S)-2,3,4,5-tetrahydro-3-methyl-2-oxo-1H-3-benzazepin-1-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

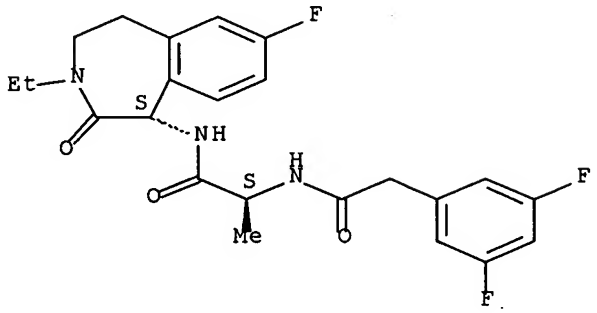


RN 209984-11-2 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[[(1S)-3-ethyl-7-fluoro-2,3,4,5-tetrahydro-2-oxo-1H-3-benzazepin-1-yl]amino]-1-methyl-2-oxoethyl]-3,5-difluoro- (9CI)

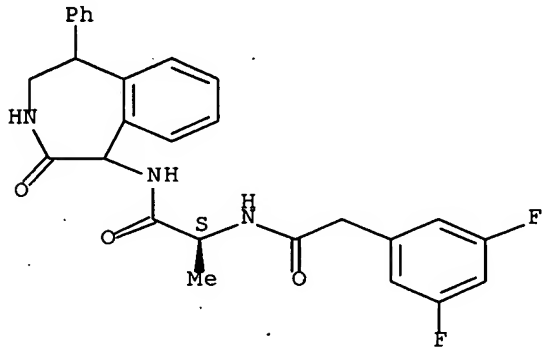
(CA INDEX NAME)

Absolute stereochemistry.

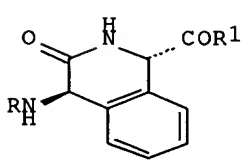


RN 209984-26-9 CAPLUS
CN Benzeneacetamide, 3,5-difluoro-N-[(1S)-1-methyl-2-oxo-2-[(2,3,4,5-tetrahydro-2-oxo-5-phenyl-1H-3-benzazepin-1-yl)amino]ethyl]- (9CI) (CA INDEX NAME)

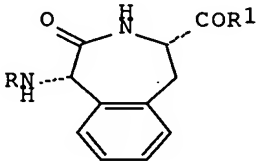
Absolute stereochemistry.



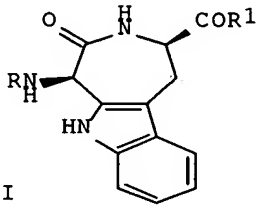
L5 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1998:265646 CAPLUS Full-text
DN 129:4850
TI Synthesis of cyclic dipeptide templates, their incorporation into peptides and studies on their conformational and biological properties
AU Asche, Geert; Kunz, Horst; Nar, Herbert; Koppen, Herbert; Briem, Hans; Pook, Karl-Heinz; Schiller, Peter W.; Chung, Nga N.; Lemieux, Carole; Esser, Franz
CS Departments of Medicinal Chemistry and Analytical Sciences, Boehringer Ingelheim, Ingelheim, Germany
SO Journal of Peptide Research (1998), 51(5), 323-336
CODEN: JPERFA; ISSN: 1397-002X
PB Munksgaard International Publishers Ltd.
DT Journal
LA English
GI



I



II

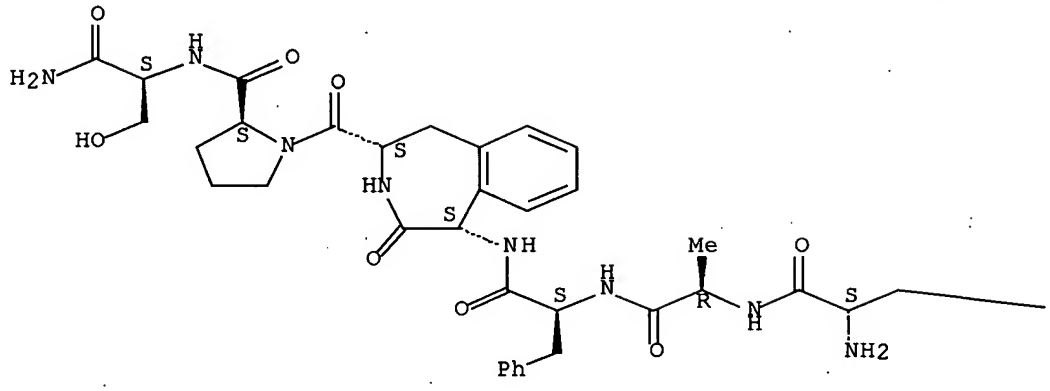


III

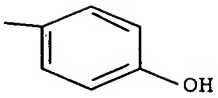
AB This study investigated the diastereoselective synthesis of three dipeptide templates I-III [R = Cl3CCH2O2C, PhCH2O2C (Cbz); R1 = OH], which may be regarded as conformationally restricted analogs of H-Gly-Xaa-OH, in which Xaa constitutes an aromatic amino acid. Bond formation between α-C of Gly and the aromatic moiety was achieved by proton-catalyzed intramol. electrophilic aromatic substitution. The absolute configuration of the dipeptide templates was determined by single-crystal x-ray crystallog. or by NOE measurements. A protective group strategy was elaborated to allow their incorporation into peptide sequences by liquid phase as well as by solid-phase peptide synthesis. The templates were used to generate enkephalin analog II (R = H-Tyr-Gly, R1 = Leu-NH2), modified neurokinin antagonist III (R = N-cyclohexylcarbonylglycyl, R1 = Phe-NMe2) and dermorphin derivs. I and II (R = H-Tyr-D-Ala, Phe; R1 = Pro-Ser-NH2). Mol. dynamic simulations of enkephalin analog II (R = H-Tyr-Gly, R1 = Leu-NH2) and neurokinin antagonist III (R = N-cyclohexylcarbonylglycyl, R1 = Phe-NMe2) revealed the preference for a turn-like motif for the enkephalin analog. The biol. activity, as investigated by resp. receptor binding and functional assays, was strongly diminished with all four derivs., indicating that their receptor-relevant mol. geometries lie outside the examined conformational space.
IT **207444-02-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, conformation, and receptor-binding of conformationally constrained aromatic dipeptide template-containing peptides)
RN 207444-02-8 CAPLUS
CN L-Serinamide, L-tyrosyl-D-alanyl-L-phenylalanyl-(2S,5S)-5-amino-2,3,4,5-tetrahydro-4-oxo-1H-3-benzazepine-2-carbonyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



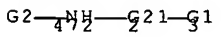
RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 1 OF 3 MARPAT COPYRIGHT 2005 ACS on STN
AN 138:205345 MARPAT Full-text
TI Preparation of cyclic amino acid compounds for inhibiting β-amyloid peptide release and/or its synthesis
IN Audia, James E.; Dressman, Bruce A.; Shi, Qing
PA Elan Pharmaceuticals, Inc., USA; Eli Lilly and Company
SO U.S., 70 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6528505	B1	20030304	US 1999-338180	19990622
	US 6552013	B1	20030422	US 1999-338121	19990622
	US 6569851	B1	20030527	US 1999-338191	19990622
	US 2003162768	A1	20030828	US 2002-317081	20021212
	US 6696438	B2	20040224		
	US 2003149022	A1	20030807	US 2002-326081	20021223
	US 6838455	B2	20050104		
	US 2004106598	A1	20040603	US 2003-392332	20030320
	US 6906056	B2	20050614		
PRAI	US 1998-160067P	19980622			
	US 1998-155238P	19980930			
	US 1998-150704P	19980930			
	US 1998-162757	19980930			
	US 1999-338121	19990622			
	US 1999-338180	19990622			
	US 1999-338191	19990622			

AB Fused azepinone amino acid derivs. R'R''NCHR1CONHCHR2CONH-W and R':NC(:R1)CONHCHR2CONH-W [R1 and R' combine to form a nitrogen-containing optionally-substituted (un)saturated heterocyclyl or heteroaryl group; R'' is H, (un)substituted alkyl or aryl; R2 is (un)substituted (cyclo)alkyl, alkenyl, alkynyl, (hetero)aryl, or heterocyclyl; W is (un)substituted mono- or dibenzo- or dicyclohexano(hydro)azepin-2-on-3-yl] were prepared for inhibition β-amyloid peptide release and/or its synthesis, and accordingly have utility in treating Alzheimer's disease. Thus, 5(S)-[(N-L-prolyl-L-alanyl)amino]-7-methyl-5,7-dihydro-6H- dibenz[b,d]azepin-6-one was prepared by acylation of 5(S)-amino-7-methyl-5,7- dihydro-6H-dibenz[b,d]azepin-6-one hydrochloride with Boc-Pro-Ala-OH (Boc = tert-butoxycarbonyl), followed by deprotection. Compds. of the invention inhibit β-amyloid peptide production by at least 30% as compared to the control when employed at 10 μg/mL.

MSTR 1



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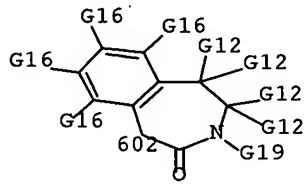
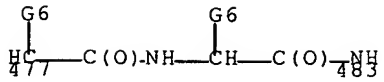


G6 = cycloalkyl <containing 3-20 C>
G21 = 477-472 483-3



Derivative: and pharmaceutically acceptable salts, or S-oxide
Patent location: claim 1

RE.CNT 121 THERE ARE 121 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 3 MARPAT COPYRIGHT 2005 ACS on STN
AN 132:64532 MARPAT Full-text
TI Preparation of cyclic amino acid compounds for inhibiting β-amyloid peptide release and/or its synthesis
IN Audia, James E.; Porter, Warren J.; Thompson, Richard C.; Wilkie, Stephen C.; Stack, Douglas R.; Shi, Qing
PA Elan Pharmaceuticals, Inc., USA; Eli Lilly & Company
SO PCT Int. Appl., 287 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9967219	A1	19991229	WO 1999-US14096	19990622
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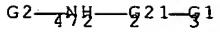
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2324474	AA	19991229	CA 1999-2324474	19990622
	AU 9947079	A1	20000110	AU 1999-47079	19990622
	EP 1089977	A1	20010411	EP 1999-930566	19990622
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2002518481	T2	20020625	JP 2000-555873	19990622
	US 6552013	B1	20030422	US 1999-338121	19990622
	US 2003149022	A1	20030807	US 2002-326081	20021223
	US 6838455	B2	20050104		

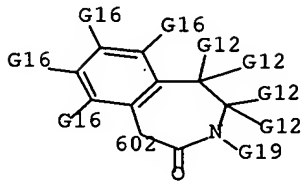
PRAI US 1998-102507 19980622
US 1998-150704P 19980930
US 1998-162757 19980930
US 1998-160067P 19980622
US 1999-338121 19990622
WO 1999-US14096 19990622

AB Compds. R1ZNH(Y)nW [W is a fused ring system, e.g., benzo- or dibenzoazepinones or -diazepinones; Y = CHR2CONH, where R2 = (un)substituted alkyl, alkenyl, or alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl; R1 = (un)substituted alkyl, alkenyl, cycloalkyl, or cycloalkenyl, aryl, heteroaryl, heterocyclyl; Z is represented by -T-CX'X''V- where T is selected from the group consisting of a bond covalently linking R1 to -CX'X''-, oxygen, sulfur and -NR6 (R6 = H, acyl, alkyl, aryl, heteroaryl), X' is H, OH, F, X'' is H, OH, F or X' and X'' together form an oxo group, V is alkylene or substituted alkylene or R1 and Z together form aryl or (un)substituted cycloalkyl, cycloalkenyl, or heterocyclyl; n = 1 or 2] were prepared for inhibition of β-amyloid peptide release and/or its synthesis. Thus, 5-(S)-[N'-[2-(3,5-difluorophenyl)ethyl]-L-alaninyl]amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one was prepared by reductive alkylation of 5-(S)-(L-alaninyl)amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one hydrochloride with 3,5-difluorophenylacetaldehyde using sodium cyanoborohydride. Compds. of the invention inhibit β-amyloid peptide production by at least 30% as compared to the control when employed at 10 μg/mL.

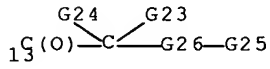
MSTR 1



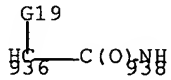
G1 = 602



G2 = 13



G19 = aryl <containing 6-14 C>
G21 = 936-472 938-3



G25 = aryl <containing 6-14 C>
Derivative: and pharmaceutically acceptable salts, or S-oxide
Patent location: claim 1
Note: substitution is restricted

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 3 MARPAT COPYRIGHT 2005 ACS on STN

AN 132:64531 MARPAT Full-text

TI Preparation of cyclic amino acid compounds for inhibiting β-amyloid peptide release and/or its synthesis

IN Audia, James E.; Dressman, Bruce A.; Shi, Qing

PA Elan Pharmaceuticals, Inc., USA; Eli Lilly & Company

SO PCT Int. Appl., 256 pp.
CODEN: PIXXD2

DT Patent

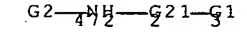
LA English

FAN.CNT 4

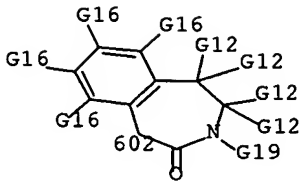
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9966934	A1	19991229	WO 1999-US14211	19990622
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2324475	AA	19991229	CA 1999-2324475	19990622
	AU 9947104	A1	20000110	AU 1999-47104	19990622
	EP 1093372	A1	20010425	EP 1999-930600	19990622
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2002518451	T2	20020625	JP 2000-555620	19990622
PRAI	US 1998-102507	19980622			
	US 1998-164451	19980930			
	WO 1999-US14211	19990622			

AB Compds. R'R''NCHR1CONH(Y)nW and R':NC(:R1)CONH(Y)nW [W is a fused ring system, e.g., benzo- or dibenzoazepinones or -diazepinones; Y = CHR2CONH, where R2 = (un)substituted alkyl, alkenyl, or alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl; R1 and R' form a nitrogen-containing heterocycle; R'' = H, alkyl, substituted alkyl, aryl; n = 1 or 2] were prepared for inhibition of β-amyloid peptide release and/or its synthesis. Thus, 5-(S)-[N'-(L-prolyl)-L-alaninyl]amino-7-methyl-5,7-dihydro-6H- dibenz[b,d]azepin-6-one was prepared via coupling of N-(N'-tert- butoxycarbonyl-L-prolyl)-L-alanine with 5-(S)-amino-7-methyl-5,7-dihydro- 6H-dibenz[b,d]azepin-6-one. Compds. of the invention inhibit β-amyloid peptide production by at least 30% as compared to the control when employed at 10 μg/mL.

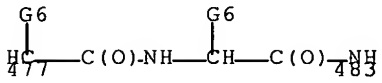
MSTR 1



G1 = 602



G6 = cycloalkyl <containing 3-20 C>
G21 = 477-472 483-3



Derivative: and pharmaceutically acceptable salts, or S-oxide
Patent location: claim 1

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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=> d l2; d his; log y
L2 HAS NO ANSWERS
L1              STR
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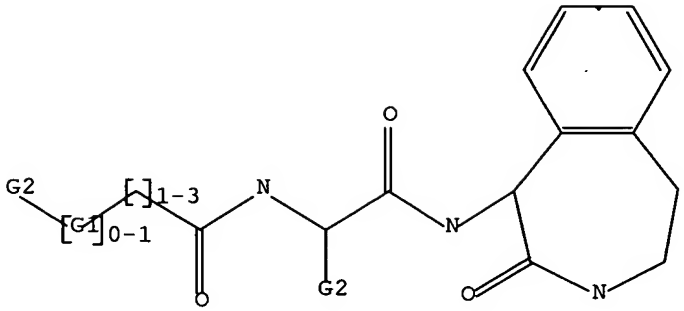

G1 O,S,N
G2 Cy,Ak

Structure attributes must be viewed using STN Express query preparation.

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L2              QUE  ABB=ON  PLU=ON  L1


     (FILE 'HOME' ENTERED AT 18:16:45 ON 19 JUL 2005)

     FILE 'REGISTRY' ENTERED AT 18:16:59 ON 19 JUL 2005
L1              STRUCTURE UPLOADED
L2              QUE L1
L3            2 S L2
L4           15 S L2 FUL

     FILE 'CAPLUS' ENTERED AT 18:17:42 ON 19 JUL 2005
L5            6 S L4

     FILE 'BEILSTEIN' ENTERED AT 18:18:34 ON 19 JUL 2005
L6            0 S L2
L7            0 S L2 FUL

     FILE 'MARPAT' ENTERED AT 18:18:59 ON 19 JUL 2005
L8            0 S L2
L9            7 S L2 FUL
L10           3 S L9 NOT L5


COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                             127.82     319.51

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
                                                 ENTRY    SESSION
CA SUBSCRIBER PRICE                              -2.04      -6.42

STN INTERNATIONAL LOGOFF AT 18:20:01 ON 19 JUL 2005
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